

REMARKS

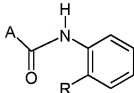
Claims 1, 5, 7 and 8 have been amended to more clearly set forth the various options in the definition of R³. No new matter has been added.

Claims 1-10 have been rejected as allegedly being unpatentable under 35 U.S.C. 103(a) over EICKEN et al. U.S. Patent Nos. 5,480,897; 5,330,995 and 5,556,988. Applicants respectfully traverse.

The four Eicken references are all related and claim priority to the same DE priority documents (US '897 is a divisional of US '995 and US '988 is a divisional of US '897. As the disclosure of these references is the same, they will be referred to together as Eicken.

The present invention relates to novel fungicidally active pyrrole-, pyrazole- or thiazole-carboxanilides, which have a common structural feature: a substituted cyclopropyl group in the ortho-position on the anilide.

Eicken describes carboxanilides of the general formula:



wherein A is selected from eight ring systems, including pyrazoles and thiazoles, and the ortho-substituent R covers a broad variety of radicals ranging from unsubstituted C2-12alkyl to substituted phenyl, including unsubstituted and alkyl-substituted C3-C6-cycloalkyl.

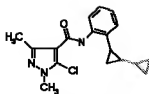
In contrast to these broad substituent definitions of the compounds of Eicken, the compounds according to the present application have very specific substituents. The acid moiety "Het" according to the present invention is selected only from pyrrolyl, pyrazolyl and thiazolyl; the ortho-substituent of the anilide is a substituted cyclopropyl group. This specific substitution pattern of compounds according to the present claims is neither disclosed in nor suggested by Eicken.

The structurally closest compounds of Eicken are compounds no. 10.50 and 9.50. In those compounds, the acid moiety A is pyrazolyl (compound no. 10.50) or thiazolyl (compound no. 9.50) and the substituent R is an unsubstituted cyclopropyl group. The only structural difference between these compounds and the compounds according to the present claims is the substituent at the cyclopropyl group.

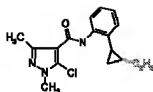
In order to demonstrate that the presently claimed compounds are clearly superior to the compounds taught in Eicken, applicants provided, in their response of March 19, 2008, a Declaration from Dietrich Hermann pursuant to 37 CFR 1.132 providing comparative biological examples, wherein the fungicidal activity of compounds 10.50 and 9.50 of Eicken is compared with the fungicidal activity of compounds according to the present invention.

In the Declaration, the activity against *Puccinia recondita* (Brown rust) on wheat was tested (see also example B-1 on page 30 of the description of the present invention). This disease is one of the most important wheat diseases worldwide. Under humid and hot conditions this disease progresses very quickly and early infections cause high yield losses through rapid reduction of photosynthetic capacity. Therefore it is highly desirable to provide a fungicidal compound which is capable to effectively fight this disease.

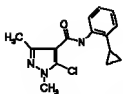
In Comparative Example 1 of the Declaration, the fungicidal activity of compounds, wherein "Het"/"A" is pyrazole was compared: the activity of compound no. 2.36 according to the present invention (see Table 2, pages 4-7 of the specification) and compound A (5-Chloro-1,3-dimethyl-1H-pyrazole-4-carboxylic acid [2-(2-ethyl-cyclopropyl)-phenyl]-amide, encompassed by the present invention) was compared with the activity of compound no. 10.50 according to Eicken. The distinguishing structural feature between compounds according to the invention and the prior art compound is shown below with the substituent on the cyclopropyl group.



Compound 2.36 according to the present invention



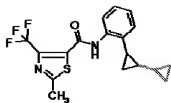
Compound A according to the present invention



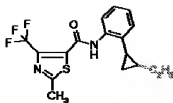
Compound 10.50 according to the prior art

This example demonstrates the superior fungicidal activity of both compounds according to the present invention. Compound 2.36 and compound A were able to control *Puccinia recondita* at application rates of 20 ppm and 6 ppm, whereas compound no. 10.50 according to Eicken was totally ineffective at these concentrations. The only structural difference between these compounds is the substituent at the cyclopropyl group in compounds according to the invention, which is either an additional cyclopropyl group or an ethyl group.

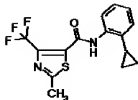
In Comparative Example 2 of the Declaration, the fungicidal activity of compounds, wherein "Het"/"A" is thiazole, is compared: the activity of compounds no. 4.20 and 4.1 according to the present invention (see Table 4 of the specification) is compared with the activity of compound no. 9.50 according to Eicken. The distinguishing structural feature between compounds according to the invention and the prior art compound is highlighted.



Compound 4.20 according
to the present invention



Compound 4.1 according
to the present invention



Compound 9.50 according
to the prior art

This example shows the superior fungicidal activity of compounds according to the present invention. Compounds 4.20 and 4.1 are able to control *Puccinia recondita* at an application rate of 20 ppm, whereas compound no. 9.50 according to Eicken is totally ineffective at this concentration. Again, the only structural difference between these compounds is the substituent at the cyclopropyl group in compounds according to the invention, which is either an additional cyclopropyl group or an ethyl group.

In summary, if the substituent at the cyclopropyl group is replaced by hydrogen, the fungicidal activity of the compound is reduced drastically. All compounds of the present invention have the above-mentioned concept in common. The comparative biological examples with compounds known from Eicken clearly demonstrate the superiority of the compounds of the present application.

The Examiner alleges that the present claims are obvious when in formula 1 of Eichen, R represents C1 to C4 alkyl substituted C3 to C6 cycloalkyl. Further stating "It had been decided by Courts that the indiscriminate selection of "some" from among "many" is considered prima facie obvious." As explained in the previous response, Applicants have clearly demonstrated that compounds substituted in the R3 position were surprisingly improved relative to compounds wherein R3 is H, thus overcoming the Examiner's prima facie case of obviousness. The data provided in the Declaration as well as the numerous examples provided in the specification

demonstrate that a wide variety of chemical substituents are tolerated in the R3 position. It is impermissible hindsight for the Examiner to go to the specification which covers countless compounds and maintain an argument that the compounds of the present invention are prima facie obvious, when the Eicken reference specifically discloses over 900 compounds, and Applicants have clearly demonstrated unexpected results over the closest described compounds.

Merely 12 of the 967 compounds disclosed in Eicken show a cyclopropyl group in the ortho position of the anilide. None of these 12 compounds have a substituent at said cyclopropyl group. Considering this teaching of Eicken, it is clear to the skilled person that for this type of fungicides it is preferred to have an unsubstituted cyclopropyl group in the ortho position of the anilide. Consequently, there is no hint to suggest the introduction of an additional substituent at the cyclopropyl group to obtain compounds with increased fungicidal activity. Therefore, as **nearly all compounds disclosed in Eicken actually lead away from this inventive concept**, a person having ordinary skill in the art is clearly not motivated to introduce the changes in the molecules disclosed in Eicken which, as demonstrated, are necessary to obtain the superior fungicidal compounds according to the present invention.

In view of the surprising and unexpected superiority of the compounds according to the present invention, such compounds clearly involve an inventive step.

In summary, Applicants submit that the amended claims relate to novel and inventive compounds and the use thereof and request favorable re-consideration of the present application.

Claims 1-10 have been rejected as allegedly being unpatentable under 35 U.S.C. 103(a) over EICKEN, KARL et al. (EP 545 099). Applicants respectfully traverse.

The four Eicken references discussed above are all related and claim priority to the same DE priority documents (US '897 is a divisional of US '995 and US '988 is a divisional of US '897). EP '099 is the European equivalent of the US patent family. As the disclosure of the EP '099 references is the same as the four US patents discussed above, Applicants submit that the above arguments are equally applicable to this reference.

The Examiner states that "Claim 7 is taught by the reference, see page 4 formula 3 where R2 can be C3 to C6 cycloalkyl group." As discussed above, the compound of Claim 7 of the present

application requires a substituted cyclopropyl group on the ring and, as the Examiner states, the reference only exemplifies unsubstituted C3 to C6 cycloalkyl groups, therefore the compounds of Claim 7 are not taught by the reference. The Examiner then takes the position that the compounds are structurally similar and that they are homologues rendering the claims prima facie obvious. Applicants submit that they have compared the compounds of the present application to the closest prior art compounds disclosed in the Eichen references and that the Examiner's case of prima facie obviousness has clearly been overcome by the showing of unexpected results in the Hermann Declaration provided with the previous response.

In the Examiner's comments on the Declaration and Response to Remarks, the examiner notes that R3 as methyl has been disclaimed and states that Applicant must disclose the prior art which has been disclaimed. The disclaimer of methyl in the R3 position was not chosen to avoid prior art, but for technical reasons.

In view of the above amendments and arguments, Applicant respectfully submits that the rejections under 35 U.S.C. § 103(a) has been overcome and hereby request that this application be passed to issue.

Applicants include herewith a Supplemental Information Disclosure Statement which provides copies of the references cited in the IDS filed on September 3, 2004.

As this response is submitted within 3 months from the mailing date of the Office Action, no extension of time is believed to be necessary.

However, in the event the undersigned is mistaken in his calculations, an appropriate extension of time to respond is respectfully requested, and the Commissioner is authorized to debit the appropriate fee for that extension, or any other fee, from the deposit account of the undersigned, no 50-1676 in the name of Syngenta Crop Protection, Inc.

Respectfully submitted,

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